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9 DEC 2003 HIGHEST RN 625365-36-8 STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8 DICTIONARY FILE UPDATES:

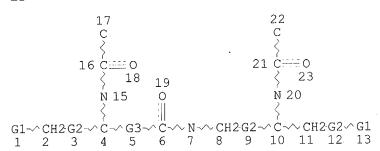
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat 17 STR L1



VAR G1=O/S/N REP G2 = (0-9) CH2 REP G3 = (0-10) CH2 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE SCR 1237 SCR 1236 AND 1297 L3 SCR 1236 AND 1332 L4SCR 1235 AND 1298 L5SCR 1235 AND 1332 L6 35 SEA FILE=REGISTRY SSS FUL L1 AND (L2 OR L3 OR L4 OR L5 OR L6) L7

100.0% PROCESSED 476996 ITERATIONS

SEARCH TIME: 00.00.38

35 ANSWERS

=> b cap FILE 'CAPLUS' ENTERED AT 08:57:00 ON 10 DEC 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24 FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> b uspatfull;d que nos 19 FILE 'USPATFULL' ENTERED AT 08:57:22 ON 10 DEC 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Dec 2003 (20031209/PD)
FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)
HIGHEST GRANTED PATENT NUMBER: US6662368
HIGHEST APPLICATION PUBLICATION NUMBER: US2003226186
CA INDEXING IS CURRENT THROUGH 9 Dec 2003 (20031209/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Dec 2003 (20031209/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2003

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>>> USPAT2 is now available. USPATFULL contains full text of the
>>> original, i.e., the earliest published granted patents or
                                                                     <<<
>>> applications. USPAT2 contains full text of the latest US
                                                                     <<<
>>> publications, starting in 2001, for the inventions covered in
                                                                     <<<
>>> USPATFULL. A USPATFULL record contains not only the original
                                                                     <<<
>>> published document but also a list of any subsequent
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>>> publications. The publication number, patent kind code, and
                                                                     <<<
>>> publication date for all the US publications for an invention
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>>> are displayed in the PI (Patent Information) field of USPATFULL
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Russel 09/720,045

	records and may be searched in standard search fields, e.g., $/PN$, $/PK$, etc.	<<<					
>>> >>> >>>		<<< <<< <<<					
>>>	Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication.	<<< <<<					
This file contains CAS Registry Numbers for easy and accurate substance identification.							

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L4		SCR	1236	AND	1332													
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L6		SCR	1235	AND	1332													
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L9	1	SEA	FILĖ:	=USPA	ATFULI	ABI	NO=E	P1	LU=ON	L.	7							

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FILE 'CAPLUS' ENTERED AT 08:57:41 ON 10 DEC 2003

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FILE 'USPATFULL' ENTERED AT 08:57:41 ON 10 DEC 2003
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PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L9
L12
4 DUP REM L8 L9 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L12 ANSWER 1 OF 4 USPATFULL on STN

ACCESSION NUMBER: 2003:289093 USPATFULL

TITLE: Acyl pseudodipeptides which carry a functionalised

auxialiary arm

INVENTOR(S):

Bauer, Jacques, Saint Prex, SWITZERLAND

Martin Olivior Richard Orleans FRANCE

Martin, Olivier Richard, Orleans, FRANCE Rodriguez, Sylvain, Gland, SWITZERLAND

		NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US	2003203852 2002-169053 2000-FR3650	A1 A1	20031030 20020828 20001221	(10)
		NUMBER	DA	TE	

PRIORITY INFORMATION: WO 1999-IB2038 19991222

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Bierman Muserlian and Lucas, 600 Third Avenue, New

York, NY, 10015

NUMBER OF CLAIMS: 41 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 86 Drawing Page(s)

LINE COUNT: 5631

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention is directed in particular to dipeptide-like compounds derived from functionally substituted amino acids, having fatty acid chains bound thereto through amidification of the amine functional groups of said dipeptide-like compounds, one end portion of which bears an accessory functional side chain spacer, with the other end portion being an acid group either in neutral or charged state.

Compounds of the present invention have immunomodulating properties like adjuvants, In addition, compounds of the invention can be grafted on a given antigen in order to modulate or tune the immune response or can be equally grafted on a pharmaceutical carrier to enhance the therapeutic effect or targetting thereof. Accordingly, compounds of the invention find use in human and veterinary medicine both as immunogens and diagnostic tools.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 346669-87-2P

(preparation of acyl pseudopeptides bearing a functionalized auxiliary spacer)

RN 346669-87-2 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$\frac{10}{10}$$
 NH O (CH₂) $\frac{1}{4}$ O (CH₂) $\frac{1}{3}$ O (CH₂) $\frac{1}{10}$ Me (CH₂) $\frac{1}{10}$

IT 346669-86-1P 346669-94-1P 346670-02-8P

346670-10-8P 346670-11-9P 346670-13-1P

346670-14-2P 346670-15-3P 346670-18-6P

346670-19-7P 346670-20-0P 346670-22-2P

346670-25-5P 346670-26-6P 346670-27-7P

346670-28-8P

(preparation of acyl pseudopeptides bearing a functionalized auxiliary spacer)

RN 346669-86-1 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(6,7-dihydroxy-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me
$$(CH_2)_{10}$$
 NH $(CH_2)_4$ O R $(CH_2)_3$ O O O Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me

PAGE 1-B

(CH₂) 10

RN 346669-94-1 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[1-[[(4R)-5-[(6-amino-1-oxohexyl)oxy]-4-[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)₁₀ NH O O (CH₂)₁₀ Me
$$(CH_2)_{10}$$
 Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me

RN 346670-02-8 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[(1S)-1-[[[(4R)-5-[(6-hydroxy-1-oxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-10-8 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[(1R)-1-[(carboxymethoxy)methyl]-2-[[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

(CH₂)10

RN 346670-11-9 USPATFULL

CN Tetradecanoic acid, (1R)-1-[2-[[(1S)-2-[[(4R)-5-[(7-amino-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]-1- [[(carboxymethyl)thio]methyl]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Me (CH₂)
$$10$$
 NH HO₂C S N H (CH₂) 10 Me (CH₂) 10 R (CH₂) 10 R (CH₂) 10 R

PAGE 1-B

__(CH₂)12

RN 346670-13-1 USPATFULL

CN Propanedioic acid, [[(2R)-3-[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]-3-oxo-2-[[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]propoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

__(CH₂)10

RN 346670-14-2 USPATFULL

CN Dodecanoic acid, (1R,11R)-22-bromo-11-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-3,6,14,21-tetraoxo-5-[2-(phosphonooxy)ethyl]-1-undecyl-13-oxa-4,7,20-triazadocos-1-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 346670-15-3 USPATFULL

CN Dodecanoic acid, (1R,5R)-5-[[(1,6-dioxohexyl)oxy]methyl]-15,15-dihydroxy-11-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-15-oxido-3,10-dioxo-1-undecyl-14-oxa-4,9-diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$_{10}^{OH}$$
 O OHC (CH₂) $_{4}^{OH}$ O O (CH₂) $_{10}^{OH}$ Me (CH₂) $_{3}^{R}$ R (CH₂) $_{10}^{Me}$

RN 346670-18-6 USPATFULL

CN Glycine, N-[(9R,19R)-9-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-6,14,17,21-tetraoxo-15-[2-(phosphonooxy)ethyl]-19-undecyl-7,20-dioxa-13,16-diazadotriacont-1-yl]-L-phenylalanylglycyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 346670-19-7 USPATFULL

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(1,6-dioxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-20-0 USPATFULL

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(6-amino-1-oxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-22-2 USPATFULL

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(6-hydroxy-1-oxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-25-5 USPATFULL

CN Tetradecanoic acid, 1-[2-[[2-[[5-[(7-amino-1-oxoheptyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]-1-[[(carboxymethyl)thio]methyl]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

$$--$$
 (CH₂)₁₂-Me

- (CH₂)₁₀-Me

RN 346670-26-6 USPATFULL

CN Propanedioic acid, [[3-[[5-[(1,6-dioxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]-3-oxo-2-[[1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]propoxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

$$---$$
 (CH₂)₁₀ - Me

- (CH₂)₁₀-Me

RN 346670-27-7 USPATFULL

CN Dodecanoic acid, 22-bromo-11-[(3-hydroxy-1-oxotetradecyl)amino]-3,6,14,21-tetraoxo-5-[2-(phosphonooxy)ethyl]-1-undecyl-13-oxa-4,7,20-triazadocos-1-yl ester (9CI) (CA INDEX NAME)

RN 346670-28-8 USPATFULL

CN Dodecanoic acid, 5-[[(1,6-dioxohexyl)oxy]methyl]-15,15-dihydroxy-11-[(3-hydroxy-1-oxotetradecyl)amino]-15-oxido-3,10-dioxo-1-undecyl-14-oxa-4,9-diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

PAGE 1-B

--- (CH₂)₁₀ - Me

- (CH₂)₁₀- Me

IT 253587-01-8P 346669-83-8P 346669-84-9P

346669-85-0P 346669-92-9P 346669-93-0P

346670-00-6P 346670-01-7P

(preparation of acyl pseudopeptides bearing a functionalized auxiliary spacer)

RN 253587-01-8 USPATFULL

CN Dodecanoic acid, (1R,11R,15R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-11(hydroxymethyl)-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346669-83-8 USPATFULL

CN Dodecanoic acid, (1R,11R,15R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-3,6,13-trioxo-11-[[(1-oxo-6-heptenyl)oxy]methyl]-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 346669-84-9 USPATFULL

CN Dodecanoic acid, (1R,11R,15R)-11-[[(6,7-dihydroxy-l-oxoheptyl)oxy]methyl]-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Page 13

PAGE 1-B

(CH₂) 10

RN 346669-85-0 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(6,7-dihydroxy-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3[(diphenoxyphosphinyl)oxy]propyl]amino]-2-oxoethyl]dodecyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me
$$(CH_2)_{10}$$
 NH $(CH_2)_4$ O $(CH_2)_3$ O $(CH_2)_4$ O $(CH_2)_3$ O $(CH_2)_4$ O $(CH_2)_4$

PAGE 1-B

CN

RN 346669-92-9 USPATFULL

9,22-Dioxa-2,15,18-triazatetratriacontanoic acid, 17-[2-[(diphenoxyphosphinyl)oxy]ethyl]-8,16,19,23-tetraoxo-11-[[(3R)-1-oxo-3-(phenylmethoxy)tetradecyl]amino]-21-undecyl-, phenylmethyl ester, (11R,21R)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 346669-93-0 USPATFULL

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(6-amino-1-oxohexyl)oxy]-4[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3[(diphenoxyphosphinyl)oxy]propyl]amino]-2-oxoethyl]dodecyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 346670-00-6 USPATFULL

Dodecanoic acid, (1R,5S,11R,15R)-5-(2-hydroxyethyl)-3,6,13-trioxo-17-CN phenyl-11-[[(phenylmethoxy)methoxy]methyl]-1,15-diundecyl-16-oxa-4,7,12triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

346670-01-7 USPATFULL RN

Dodecanoic acid, (1R,5S,11R,15R)-5-[2-[[bis(phenylmethoxy)phosphinyl]oxy]e CN thyl]-11-(hydroxymethyl)-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$10$$
 NH HO R (CH₂) 3 O (CH₂) 10 Me Ph O Ph

CAPLUS COPYRIGHT 2003 ACS on STN L12 ANSWER 2 OF 4

2003:195779 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:173430

OM197-MP-AC induces the maturation of human dendritic TITLE:

cells and promotes a primary T cell response

Byl, B.; Libin, M.; Bauer, J.; Martin, O. R.; De Wit, AUTHOR(S):

D.; Davies, G.; Goldman, M.; Willems, F.

Laboratoire d'Immunologie Experimentale, Universite CORPORATE SOURCE:

Libre de Bruxelles, Brussels, Belg.

International Immunopharmacology (2003), 3(3), 417-425 SOURCE:

CODEN: IINMBA; ISSN: 1567-5769

Elsevier Science B.V. PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

Dendritic cell (DC) maturation is critical for the induction of

antigen-specific T lymphocyte responses and may be essential for the development of human vaccines relying on T cell immunity. We investigated the effects on human DC of OM-197, a synthetic pseudodipeptide derived from amino acids, linked to three fatty acid chains and devoid of endotoxin properties. OM-197 upregulated the expression of HLA-DR, CD80, CD86, CD83, CD40 and CD54 at the surface of myeloid DC naturally present in blood as well as of DC generated in vitro from monocytes using IL-4 and GM-CSF. OM-197 also induced the release of IL-12 and TNF- α from DC. Finally, DC incubated with OM-197 after pulsing with hepatitis B surface antigen (HBs Ag) induced in vitro expansion of IFN- γ -secreting HBs Ag-specific CD4+ T lymphocytes from naive individuals. Taken together, these data identify OM-197 as a potential vaccine adjuvant for the induction of Th1-type responses.

579489-31-9 ΙT

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(OM197-MP-AC induces the maturation of human dendritic cells and promotes a primary T cell response)

579489-31-9 CAPLUS RN

Dodecanoic acid, (1R)-1-[2-[(1S)-1-[[(4R)-5-[(6-amino-1-oxohexyl)oxy]-4-CN [[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂) 10 NH
$$\frac{1}{10}$$
 (CH₂) 5 O R (CH₂) 3 O O (CH₂) 10 Me $\frac{1}{10}$ Me

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS 19 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2001:472655 CAPLUS

DOCUMENT NUMBER:

135:77104

TITLE:

Preparation of acyl pseudopeptides bearing a

functionalized auxiliary spacer

INVENTOR(S):

Bauer, Jacques; Martin, Olivier Richard; Rodriguez,

Sylvain

PATENT ASSIGNEE(S):

OM Pharma, Switz.

SOURCE:

PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. KIND DATE PATENT NO. ____ 19991222 Α1 20010628 WO 1999-IB2038 WO 2001046127

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PRIORITY APPLN. INFO.:
                                                            20001221
                                        WO 2000-FR3650
                                                         W
                         MARPAT 135:77104
OTHER SOURCE(S):
    N-acyl pseudopeptides X(CH2)mCH(NHR1)(CH2)nCO-Y-(CH2)pCH(NHR2)(CH2)qZ [R1,
    R2 = (un) substituted C2-C24 acyl; m, n = 0-10; p, q = 1-10; X, Z = a
    neutral or charged acid group or (at least one) functionalized auxiliary
    spacer, preferably carboxy[(C1-C5)alkoxy], carboxy[(C1-
    C5) alkylthio], phosphono[(C1-C5) alkoxy], phosphono[(C1-
    C5)alkylthio],dihydroxyphosphoryloxy[(C1-C5)alkoxy],dihydroxyphosphoryloxy
     , hydroxysulfonyloxy, hydroxysulfonyl[(C1-C5)alkoxy], hydroxysulfonyl[(C1-
    C5) alkylthio], hydroxysulfonyloxy[(C1-C5) alkoxy], and
    hydroxysulfonyloxy[(C1-C5)alkylthio]; Y = O, NH] were prepared as
    immunomodulators. The compds. can further be grafted on an antigen to
    modulate immune response or also grafted on a pharmaceutical substance to
    improve its therapeutic activity or its targeting. Thus,
     3-[(R)-3-dodecanoyloxytetradecanoylamino]-4-oxo-5-aza-9-[(R)-3-
    hydroxytetradecanoylamino]decan-1,10-diol 1-dihydrogen phosphate
     10-(6-oxohexanoate) was prepared and reacted to form conjugates with
    peptides (NANP)6P2P30, P2P30, and (NANP)3CS.T3 as well as ovalbumin and
    hemagglutinin H1N1. Compds. of the invention were evaluated pharmacol.
    346669-87-2P
ΙT
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (preparation of acyl pseudopeptides bearing a functionalized auxiliary
        spacer)
     346669-87-2 CAPLUS
RN
     Dodecanoic acid, (1R)-1-[2-[[1-[[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-dioxohexyl)oxy]]]
CN
     hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-
     (phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI)
                                                                 (CA INDEX
     NAME)
```

Me
$$(CH_2)_{10}$$
 NH O $(CH_2)_{4}$ O R $(CH_2)_{3}$ O $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me $(CH_2)_{10}$

IT 346669-86-1P 346669-94-1P 346670-02-8P 346670-10-8P 346670-11-9P 346670-13-1P 346670-14-2P 346670-15-3P 346670-18-6P 346670-19-7P 346670-20-0P 346670-22-2P 346670-25-5P 346670-26-6P 346670-27-7P 346670-28-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acyl pseudopeptides bearing a functionalized auxiliary spacer)

RN 346669-86-1 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(6,7-dihydroxy-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 346669-94-1 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-[(6-amino-1-oxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂) 10 NH
$$\frac{1}{10}$$
 NH $\frac{1}{10}$ (CH₂) 5 O R (CH₂) 3 O O (CH₂) 10 Me $\frac{1}{10}$ Me $\frac{1}{10}$ (CH₂) 10

RN 346670-02-8 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[(1S)-1-[[[(4R)-5-[(6-hydroxy-1-oxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346670-10-8 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[(1R)-1-[(carboxymethoxy)methyl]-2-[[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amin o]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 346670-11-9 CAPLUS

CN Tetradecanoic acid, (1R)-1-[2-[[(1S)-2-[[(4R)-5-[(7-amino-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]-1[[(carboxymethyl)thio]methyl]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 346670-13-1 CAPLUS

CN Propanedioic acid, [[(2R)-3-[[(4R)-5-[(1,6-dioxohexyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]-3-oxo-2-[[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]propoxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

CO2H

Me (CH2)10

NH

OHC

$$(CH_2)_{10}$$

R

 $(CH_2)_{10}$

R

 $(CH_2)_{10}$

R

 $(CH_2)_{10}$

R

 $(CH_2)_{10}$
 $(CH_2)_{10}$

R

 $(CH_2)_{10}$
 $(CH_2)_{10}$
 $(CH_2)_{10}$

PAGE 1-B

346670-14-2 CAPLUS RN

Dodecanoic acid, (1R,11R)-22-bromo-11-[[(3R)-3-hydroxy-1-CN oxotetradecyl]amino]-3,6,14,21-tetraoxo-5-[2-(phosphonooxy)ethyl]-1undecyl-13-oxa-4,7,20-triazadocos-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 346670-15-3 CAPLUS

Dodecanoic acid, (1R,5R)-5-[[(1,6-dioxohexyl)oxy]methyl]-15,15-dihydroxy-CN 11-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-15-oxido-3,10-dioxo-1-undecyl-14-oxa-4,9-diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

Me (CH₂)₁₀ OHC (CH₂)₄ O OHC (CH₂)₄ O OHC (CH₂)₄ O OHC (CH₂)₁₀ Me
$$(CH_2)_{10}$$
 Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me

RN 346670-18-6 CAPLUS

CN Glycine, N-[(9R,19R)-9-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-6,14,17,21-tetraoxo-15-[2-(phosphonooxy)ethyl]-19-undecyl-7,20-dioxa-13,16-diazadotriacont-1-yl]-L-phenylalanylglycyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 346670-19-7 CAPLUS

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(1,6-dioxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-20-0 CAPLUS

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(6-amino-1-oxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 346670-22-2 CAPLUS

CN Dodecanoic acid, 1-[2-[[1-[[[5-[(6-hydroxy-1-oxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

346670-25-5 CAPLUS

RN

CN Tetradecanoic acid, 1-[2-[[5-[(7-amino-1-oxoheptyl)oxy]-4-[(3-hydroxy-1)oxy]]

1-oxotetradecyl) amino] pentyl] amino] -1-[[(carboxymethyl)thio]methyl] -2-oxoethyl] amino] -2-oxoethyl] dodecyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

- (CH₂)₁₀- Me

CN

RN 346670-26-6 CAPLUS

Propanedioic acid, [[3-[[5-[(1,6-dioxohexyl)oxy]-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]-3-oxo-2-[[1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]propoxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

$$--$$
 (CH₂)₁₀-Me

- (CH₂)₁₀-Me

RN 346670-27-7 CAPLUS

CN Dodecanoic acid, 22-bromo-11-[(3-hydroxy-1-oxotetradecyl)amino]-3,6,14,21-tetraoxo-5-[2-(phosphonooxy)ethyl]-1-undecyl-13-oxa-4,7,20-triazadocos-1-yl ester (9CI) (CA INDEX NAME)

346670-28-8 CAPLUS RN

Dodecanoic acid, 5-[[(1,6-dioxohexyl)oxy]methyl]-15,15-dihydroxy-11-[(3-CN hydroxy-1-oxotetradecyl)amino]-15-oxido-3,10-dioxo-1-undecyl-14-oxa-4,9diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-(CH₂)₁₀ - Me

-(CH₂)₁₀-Me

253587-01-8P 346669-83-8P 346669-84-9P IT 346669-85-0P 346669-92-9P 346669-93-0P 346670-00-6P 346670-01-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of acyl pseudopeptides bearing a functionalized auxiliary spacer)

RN 253587-01-8 CAPLUS

Dodecanoic acid, (1R,11R,15R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-11-CN (hydroxymethyl)-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Me (CH₂)
$$10$$
 NH HO R (CH₂) 3 O (CH₂) 10 Me PhO PhO NH R (CH₂) 10 Me

RN 346669-83-8 CAPLUS

CN Dodecanoic acid, (1R,11R,15R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-3,6,13-trioxo-11-[[(1-oxo-6-heptenyl)oxy]methyl]-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 346669-84-9 CAPLUS

CN Dodecanoic acid, (1R,11R,15R)-11-[[(6,7-dihydroxy-1-oxoheptyl)oxy]methyl]-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 346669-85-0 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[1-[[(4R)-5-[(6,7-dihydroxy-1-oxoheptyl)oxy]-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3[(diphenoxyphosphinyl)oxy]propyl]amino]-2-oxoethyl]dodecyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Searched by Noble Jarrell 305-8743 Page 28

PAGE 1-B

(CH₂) 10

RN 346669-92-9 CAPLUS

CN 9,22-Dioxa-2,15,18-triazatetratriacontanoic acid, 17-[2-[(diphenoxyphosphinyl)oxy]ethyl]-8,16,19,23-tetraoxo-11-[[(3R)-1-oxo-3-(phenylmethoxy)tetradecyl]amino]-21-undecyl-, phenylmethyl ester, (11R,21R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 346669-93-0 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[1-[[(4R)-5-[(6-amino-1-oxohexyl)oxy]-4-[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-

Searched by Noble Jarrell 305-8743 Page 29

[(diphenoxyphosphinyl)oxy]propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$_{10}$$
 NH

(CH₂) $_{10}$ O

R
(CH₂) $_{3}$ O

OPh

OPh

OPh

PhO

PhO

R
(CH₂) $_{10}$ Me

(CH₂) $_{10}$

RN 346670-00-6 CAPLUS

CN Dodecanoic acid, (1R,5S,11R,15R)-5-(2-hydroxyethyl)-3,6,13-trioxo-17-phenyl-11-[[(phenylmethoxy)methoxy]methyl]-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 346670-01-7 CAPLUS

CN Dodecanoic acid, (1R,5S,11R,15R)-5-[2-[[bis(phenylmethoxy)phosphinyl]oxy]e thyl]-11-(hydroxymethyl)-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

Me (CH₂)
$$10$$
 NH HO R (CH₂) 3 O O (CH₂) 10 Me Ph O Ph

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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4

ACCESSION NUMBER:

2000:15159 CAPLUS

DOCUMENT NUMBER:

132:73642

TITLE:

Acyl pseudodipeptides, preparation method,

pharmaceutical compositions containing them for

therapeutic use

INVENTOR(S):

Bauer, Jacques; Martin, Olivier Richard

Om Pharma, Switz.

SOURCE:

PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

	PATENT NO.				KIND DATE					Ì	APPLI	CATI	DATE						
	WO	7O 2000000462			A	A1 20000106				7	WO 19	99 - I	0	19990623					
		W:	ΑE,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	
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			JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	, LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
			MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO.	, RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	
			TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	, YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	KΖ,	
			MD,	RU,	ТJ,	MT													
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									1	WO 1	L999-	IB11	70	M	19990	0623			

OTHER SOURCE(S):

MARPAT 132:73642

The invention discloses N-acyl pseudodipeptides XA(CH2)mCH(NHR1)(CH2)nCONH(CH2)pCH(NHR2)(CH2)qBY [R1, R2 = (un)saturated (un)branched (un)substituted C2-24 carboxylic acid; m, p, q = 1-10; n = 0-10; X, Y = H, phosphono, hydroxysulfonyl, dimethoxyphosphoryl, (C1-5 alkyl) carboxy, etc.; A, B = 0, S, NH] and salts thereof. The invention also discloses pharmaceutical compns. containing as active principle at least one of the above compds. The compds. have interesting pharmacol. properties which make them useful as medicines especially as immunomodulators. The compds. are of interest in the treatment of immune deficiency diseases and diseases involving hyperimmune response, in the treatment of cancer, and as vaccine adjuvants. Their amphiphilic character makes them useful in drug delivery systems.

IT 253587-00-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acyl pseudodipeptide preparation and pharmaceutical compns. for therapeutic use)

RN 253587-00-7 CAPLUS

CN Dodecanoic acid, (1R,11R)-14,14-dihydroxy-11-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-14-oxido-3,6-dioxo-5-[2-(phosphonooxy)ethyl]-1-undecyl-13-oxa-4,7-diaza-14-phosphatetradec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$10$$
 NH H₂O₃PO R (CH₂) 3 O (CH₂) 10 Me H₂O₃PO H (CH₂) 10

IT 253586-86-6 253586-87-7 253586-88-8 253586-89-9 253586-90-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acyl pseudodipeptide preparation and pharmaceutical compns. for therapeutic use)

RN 253586-86-6 CAPLUS

CN

Dodecanoic acid, 1-[2-[[1-[[[5-hydroxy-4-[(3-hydroxy-1-oxotetradecyl)amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

H2O3PO-CH2-CH2-CH-NH-C-CH2-CH-

PAGE 1-A

OH O
| | | |
| | |
| Me- (CH₂)₁₀-CH-CH₂-C-NH O
| | | |
| HO CH₂-CH- (CH₂)₃-NH-C O O-C

PAGE 1-B

--- (CH₂)₁₀-Me

-(CH₂)₁₀-Me

RN 253586-87-7 CAPLUS

CN Dodecanoic acid, 14,14-dihydroxy-5-(2-hydroxyethyl)-11-[(3-hydroxy-1-oxotetradecyl)amino]-14-oxido-3,6-dioxo-1-undecyl-13-oxa-4,7-diaza-14-phosphatetradec-1-yl ester (9CI) (CA INDEX NAME)

PAGE 1-B

-- (CH₂)₁₀-Me

- (CH₂)₁₀-Me

RN 253586-88-8 CAPLUS
CN Dodecanoic acid, 14,14-dihydroxy-l1-[(3-hydroxy-l-oxotetradecyl)amino]-14-oxido-3,6-dioxo-5-[2-(phosphonooxy)ethyl]-l-undecyl-l3-oxa-4,7-diaza-l4-phosphatetradec-l-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$---$$
 (CH₂)₁₀-Me

-(CH₂)₁₀-Me

253586-89-9 CAPLUS RN

CN Dodecanoic acid, (1R, 5R)-15, 15-dihydroxy-11-[[(3R)-3-hydroxy-1oxotetradecyl]amino]-15-oxido-3,10-dioxo-5-[(phosphonooxy)methyl]-1undecyl-14-oxa-4,9-diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$_{(CH_2)_{10}}^{OH}$$
 $_{(CH_2)_{10}}^{OH}$ $_{(CH_2)_{10}}^{H}$ $_{(CH_2)_{10}}^{H}$ $_{(CH_2)_{10}}^{Me}$

253586-90-2 CAPLUS RN

Dodecanoic acid, (1R, 5R) - 15, 15-dihydroxy-5-(hydroxymethyl)-11-[[(3R)-3-CN hydroxy-1-oxotetradecyl]amino]-15-oxido-3,10-dioxo-1-undecyl-14-oxa-4,9diaza-15-phosphapentadec-1-yl ester (9CI) (CA INDEX NAME)

IT 253586-98-0P 253586-99-1P 253587-02-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; acyl pseudodipeptide preparation and pharmaceutical $\ensuremath{\mathsf{P}}$

compns. for therapeutic use)

RN 253586-98-0 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[1-[[[(4R)-5-hydroxy-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]-3-(phosphonooxy)propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH2)
$$\frac{10}{10}$$
 NH HO R (CH2) $\frac{H}{N}$ O O (CH2) $\frac{Me}{10}$ Me H203PO H (CH2) $\frac{Me}{10}$

RN 253586-99-1 CAPLUS

CN Dodecanoic acid, (1R)-1-[2-[[3-[(diphenoxyphosphinyl)oxy]-1-[[[(4R)-5-hydroxy-4-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]pentyl]amino]carbonyl]propyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

RN 253587-02-9 CAPLUS

CN Dodecanoic acid, (1R,11R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-14,14-dihydroxy-11-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-14-oxido-3,6-dioxo-1-undecyl-13-oxa-4,7-diaza-14-phosphatetradec-1-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$10$$
 NH H₂O₃PO R (CH₂) 3 O O (CH₂) 10 Me PhO PhO R (CH₂) 10 Me (CH₂) 10

IT 253587-01-8

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction; acyl pseudodipeptide preparation and pharmaceutical compns. for therapeutic use)

RN 253587-01-8 CAPLUS

CN Dodecanoic acid, (1R,11R,15R)-5-[2-[(diphenoxyphosphinyl)oxy]ethyl]-11-(hydroxymethyl)-3,6,13-trioxo-17-phenyl-1,15-diundecyl-16-oxa-4,7,12-triazaheptadec-1-yl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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